

Connecting via Winsock to STN

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LOGINID:ssptanxr1625

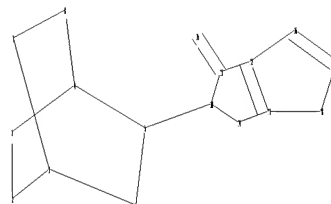
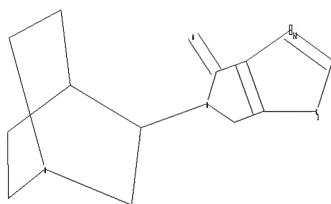
PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 02	STN pricing information for 2008 now available
NEWS	3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	5	JAN 28	MARPAT searching enhanced
NEWS	6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	9	FEB 08	STN Express, Version 8.3, now available
NEWS	10	FEB 20	PCI now available as a replacement to DPCI
NEWS	11	FEB 25	IFIREF reloaded with enhancements
NEWS	12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS	14	MAR 31	IFICDB, IFIPAT, and IFIUIDB enhanced with new custom IPC display formats
NEWS	15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	16	MAR 31	CA/CAPLUS and CASREACT patent number format for U.S. applications updated
NEWS	17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	23	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	25	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	26	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	27	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	28	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	29	JUN 25	CA/CAPLUS and USPAT databases updated with IPC reclassification data
NEWS	30	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	31	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated





```

chain nodes :
15
ring nodes :
1 2 3 4 5 6 7 8 10 11 12 13 14 16 17 18
chain bonds :
5-10 11-15
ring bonds :
1-2 1-6 1-7 2-3 3-4 4-5 4-8 5-6 7-8 10-11 10-14 11-12 12-13 12-16
13-14 13-18 16-17 17-18
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 4-8 5-6 5-10 7-8 10-11 10-14 11-12 11-15
12-13 12-16 13-14 13-18 16-17 17-18
isolated ring systems :
containing 1 : 10 :

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G1:C,O,S,N

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:CLASS 16:Atom 17:Atom 18:Atom

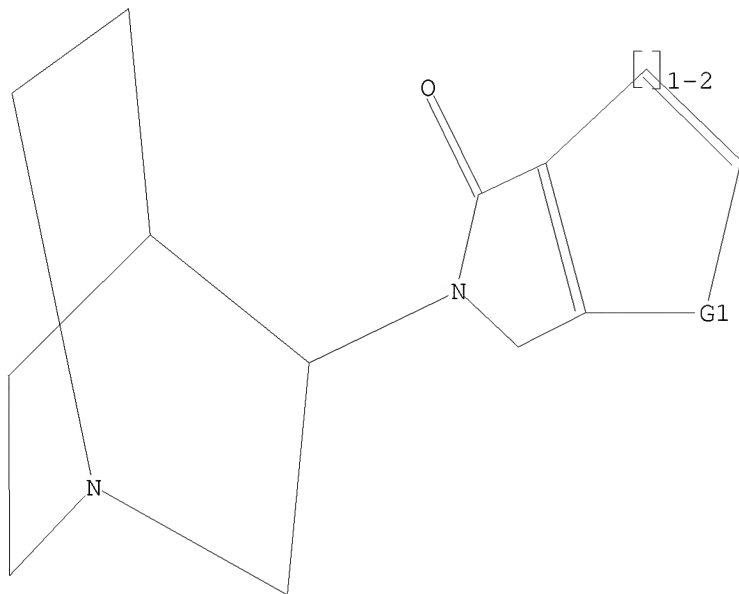
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L1            STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1            STR



G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:23:58 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -            43 TO ITERATE

100.0% PROCESSED            43 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*

BATCH    \*\*COMPLETE\*\*

PROJECTED ITERATIONS:            467 TO            1253

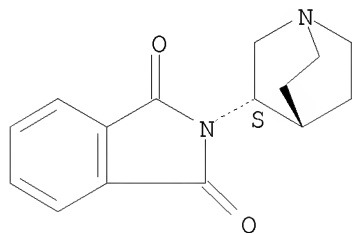
PROJECTED ANSWERS:                4 TO            200

L2            4 SEA SSS SAM L1

=> d scan

L2 4 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1H-Isoindole-1,3(2H)-dione, 2-(1-azabicyclo[2.2.2]oct-3-yl)-, (S)- (9CI)  
MF C15 H16 N2 O2

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l1 full  
FULL SEARCH INITIATED 15:24:21 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 822 TO ITERATE

100.0% PROCESSED 822 ITERATIONS 81 ANSWERS  
SEARCH TIME: 00.00.01

L3 81 SEA SSS FUL L1

=> file caplu  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
ENTRY SESSION  
FULL ESTIMATED COST 178.36 178.57

FILE 'CAPLUS' ENTERED AT 15:24:25 ON 24 JUL 2008  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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FILE COVERS 1907 - 24 Jul 2008 VOL 149 ISS 4  
FILE LAST UPDATED: 23 Jul 2008 (20080723/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> file caplus  
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FILE COVERS 1907 - 24 Jul 2008 VOL 149 ISS 4  
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They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s l3 full

L4 9 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:734100 CAPLUS

DOCUMENT NUMBER: 149:79629

TITLE: Preparation of N,N'-diarylpyrimidinediamine for use as protein kinase inhibitors

INVENTOR(S): Michellys, Pierre-Yves; Pei, Wei; Marsilje, Thomas H.;  
Lu, Wenshuo; Chen, Bei; Uno, Tetsuo; Jin, Yunho;  
Jiang, Tao

PATENT ASSIGNEE(S): IRM LLC, Bermuda

SOURCE: PCT Int. Appl., 199pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008073687	A2	20080619	WO 2007-US85304	20071120
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2006-869299P P 20061208  
US 2007-966449P P 20070828

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 and R2 independently = halo, OR12, (un)substituted alkyl, alkynyl, etc.; or one of R1 or R2 = H; or R1 and R2 together form (un)substituted monocyclic or fused carbocyclic ring, aryl, heteroaryl, etc.; R3 = CN, SO2R12, (CR5)2CO2R12, etc.; R4 = H, NO2, halo, (un)substituted alkyl, alkenyl, etc.; R5 = H or alkyl; R6 = substituted N; R12 = H, alkyl, aryl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as protein kinase inhibitors. Thus, e.g., II was prepared by amidation of 4-aminopiperidine-1-carboxylic acid tert-Bu ester with 2-chloro-4-isopropoxy-5-nitrobenzoyl chloride (preparation given), followed by coupling with vinylboronic acid di-Bu ester, cyclization, reduction, substitution with (2,5-dichloropyrimidin-4-yl)-[2-(propane-2-sulfonyl)phenyl]amine (preparation given), and deprotection. I were evaluated in BaF3-NPM-ALK cell assays and, in general, demonstrated IC50 values from 1 nM to 10 µM.

IT 1032902-05-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

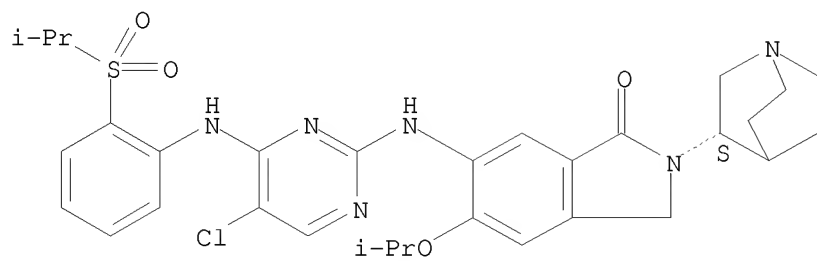
(preparation of N,N'-diarylpyrimidinediamine for use as protein kinase inhibitors)

RN 1032902-05-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry.



L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:224063 CAPLUS

DOCUMENT NUMBER: 148:285190

TITLE: Tricyclic compound derivatives useful in the treatment of neoplastic diseases, inflammatory disorders and immunomodulatory disorders

INVENTOR(S): Gregor, Vlad Edward; Liu, Yahua; Anikin, Alexey; McGee, Danny Peter Claude; Mikel, Charles; McGrath, Douglas Eric; Vavilala, Goverdhan Reddy; Pickens, Jason C.; Kadushkin, Alexander; Thiruvazhi, Mohan Santhanam; Zozulya, Sergey; Vairagoundar, Rajendran; Zhu, Tong; Chucholowski, Alexander; Webb, Thomas R.; Jiang, Luyong; Gantla, Vidyasagar Reddy; Yan, Zheng

PATENT ASSIGNEE(S): Chembridge Research Laboratories, Inc., USA

SOURCE: PCT Int. Appl., 339pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021369	A2	20080221	WO 2007-US18002	20070813
WO 2008021369	A3	20080529		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20080171769	A1	20080717	US 2007-891604	20070810
PRIORITY APPLN. INFO.:			US 2006-837652P	P 20060814
OTHER SOURCE(S):	MARPAT 148:285190			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Provided are compds. of formula I or a stereoisomer, tautomer, salt, hydrate, or prodrug thereof, capable of modulating tyrosine kinases, compns. comprising the compds. and methods of their use. Compds. of formula I wherein each W1 - W6 are independently C and N, with the proviso that then W1 - W6 is N, the corresponding substituent X1 - X6 is absent; each X1 - X3, X5 and X6 are independently H, OH, halo, (un)substituted lower alkyl, (un)substituted lower alkoxy, (un)substituted acylamino, etc.; X4 is H, OH, halo, CF3, OCF3, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.; Y1 and Y2 are independently (un)substituted (CH2)0-4 alkyl, CO, CS, C=NH, and derivs., SO2 and CF2; R1 is (un)substituted heterocyclyl, heterocyclylalkyl, heteroaryl, heteroarylalkyl, etc.; and their stereoisomers, tautomers, salts, hydrated and prodrugs thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their tyrosine kinase modulatory activity (data given).

IT 1008453-60-8P 1008453-64-2P

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

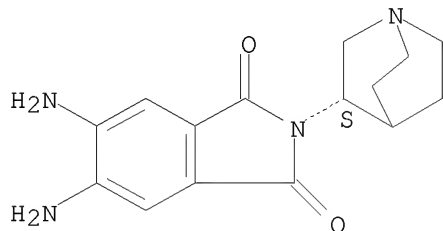
(prophetic intermediate; preparation of tricyclic compound derivs. as tyrosine

kinase modulators useful in treatment and prevention of neoplastic, inflammatory, immune and other tyrosine kinase-related diseases)

RN 1008453-60-8 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 5,6-diamino-2-(3S)-1-azabicyclo[2.2.2]oct-3-yl- (CA INDEX NAME)

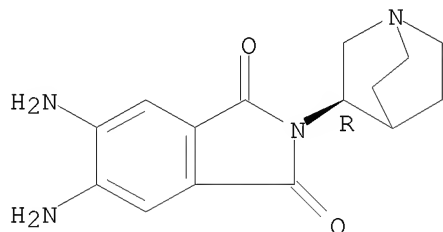
Absolute stereochemistry.



RN 1008453-64-2 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 5,6-diamino-2-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (CA INDEX NAME)

Absolute stereochemistry.



IT 1008452-35-4 1008452-37-6

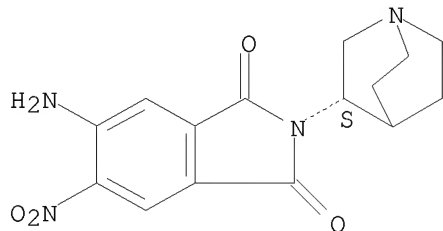
RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation of tricyclic compound derivs. as tyrosine kinase modulators useful in treatment and prevention of neoplastic, inflammatory, immune and other tyrosine kinase-related diseases)

RN 1008452-35-4 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 5-amino-2-(3S)-1-azabicyclo[2.2.2]oct-3-yl-6-nitro- (CA INDEX NAME)

Absolute stereochemistry.

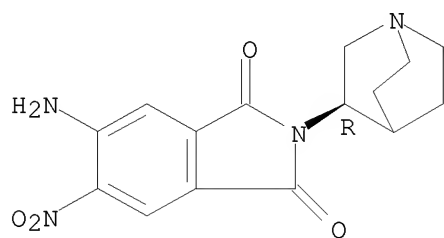


RN 1008452-37-6 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 5-amino-2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-

nitro- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1262924 CAPLUS

DOCUMENT NUMBER: 144:369594

TITLE: Synthesis, structural and conformational study of selected N-substituted phthalimides

AUTHOR(S): Iriepa, Isabel; Villasante, F. Javier; Galvez, Enrique; Herrera, Antonio; Sanchez, Angel; Cano, Felix H.

CORPORATE SOURCE: Dpto. Quimica Organica, Universidad de Alcala, Alcala de Henares, 28871, Spain

SOURCE: Central European Journal of Chemistry (2005), 3(4), 683-704

CODEN: CEJCAZ; ISSN: 1644-3624

URL: <http://www.ingentaconnect.com/content/cesj/cejc/2005/00000003/00000004>

PUBLISHER: Central European Science Journals

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:369594

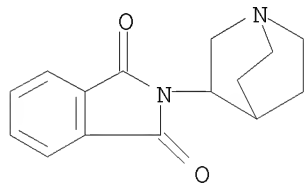
AB This paper synthesizes N-substituted phthalimides derived from nitrogen heterocycles as potential 5-HT<sub>4</sub> ligands by using the Mitsunobu reaction. Conformational studies of some of the new compds. have been conducted using <sup>1</sup>H and <sup>13</sup>C-NMR spectroscopy. Proton and carbon resonances were achieved through the application of one-dimensional selective NOE, two-dimensional NMR techniques-homonuclear COSY-45, NOESY and heteronuclear <sup>1</sup>H-<sup>13</sup>C HMQC correlated spectroscopy- and double resonance expts. The crystal structure of compound 1 was determined by X-ray diffraction.

IT 882430-91-3P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (synthesis, crystal structure, and conformation of N-substituted phthalimides)

RN 882430-91-3 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-(1-azabicyclo[2.2.2]oct-3-yl)- (CA INDEX NAME)



REFERENCE COUNT:

44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1154550 CAPLUS

DOCUMENT NUMBER: 143:422508

TITLE: Preparation of 2-(1-azabicyclo[2.2.2]oct-3-yl)-2,3-dihydroisoindol-1-one and 5-(1-azabicyclo[2.2.2]oct-3-yl)-5,6-dihydro-furo[2,3-c]pyrrol-4-one derivatives for therapeutic use as ligands for the  $\alpha 7$  nicotinic acetylcholine receptor ( $\alpha 7$ nAChR)

INVENTOR(S): Chapdelaine, Marc; Herzog, Keith J.

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Chapdelaine, Marc; Herzog, Keith J.

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

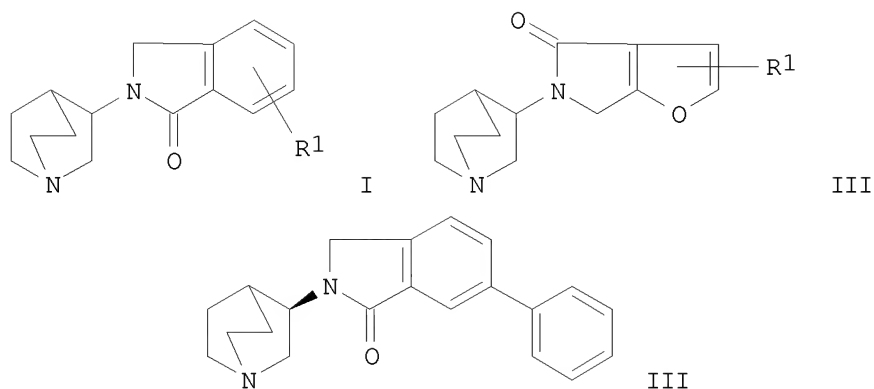
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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AU 2005233492	A1	20051027	AU 2005-233492	20050406
CA 2563010	A1	20051027	CA 2005-2563010	20050406
EP 1737854	A1	20070103	EP 2005-722314	20050406
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BR 2005009777	A	20071023	BR 2005-9777	20050406
JP 2007532637	T	20071115	JP 2007-508300	20050406
IN 2006DN05559	A	20070831	IN 2006-DN5559	20060925
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US 20070213342	A1	20070913	US 2006-599839	20061011
KR 2007020445	A	20070221	KR 2006-721260	20061013
NO 2006005199	A	20061113	NO 2006-5199	20061113
PRIORITY APPLN. INFO.:			SE 2004-970	A 20040414
			WO 2005-SE500	W 20050406

OTHER SOURCE(S): CASREACT 143:422508; MARPAT 143:422508

GI



AB The title quinuclidine derivs., such as I and II [R1 = H, halogen, aryl, heteroaryl, heterocyclyl], were prepared for use in pharmaceutical compns. as  $\alpha 7$ nAChR ligands for treatment or prophylaxis of diseases or conditions in which activation of the  $\alpha 7$ nAChR is beneficial. These quinuclidines are claimed for use in the treatment or prophylaxis of neurol. disorders, psychotic disorders or intellectual impairment disorders selected from Alzheimer's disease, learning deficit, cognition deficit, attention deficit, memory loss or attention deficit hyperactivity disorder, anxiety, schizophrenia, or mania, manic depression, Parkinson's disease, Huntington's disease, Tourette's syndrome, neurodegenerative disorders in which there is loss of cholinergic synapses, jet lag, nicotine addiction, craving, pain, or ulcerative colitis. Thus, 2-[(R)-1-azabicyclo[2.2.2]oct-3-yl]-6-phenyl-2,3-dihydroisoindol-1-one (IIII) was prepared via an aromatic coupling reaction with 34% yield of 2-[(R)-1-azabicyclo[2.2.2]oct-3-yl]-6-bromo-2,3-dihydroisoindol-1-one with PhB(OH)<sub>2</sub> using PdCl<sub>2</sub>(PPh<sub>3</sub>)<sub>2</sub> and Cs<sub>2</sub>CO<sub>3</sub> in DME/H<sub>2</sub>O/EtOH (1:1:1) and heating to 150° for 10 min in a Smith microwave. The prepared quinuclidine derivs. were assayed for  $\alpha 7$ nAChR binding affinity and for P-glycoprotein mediated efflux.

IT 868235-52-3P, 2-[(R)-1-Azabicyclo[2.2.2]oct-3-yl]-6-bromo-2,3-dihydroisoindol-1-one 868235-55-6P 868235-59-0P  
868235-63-6P 868235-69-2P

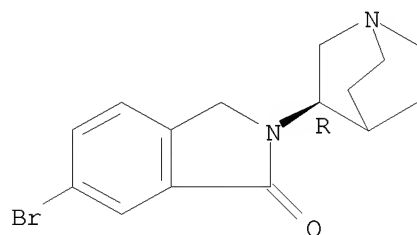
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 2-quinuclidinyl-2,3-dihydroisoindol-1-ones and 5-quinuclidinyl-5,6-dihydro-furo[2,3-c]pyrrol-4-one derivs. for therapeutic use as ligands for  $\alpha 7$  nicotinic acetylcholine receptor)

RN 868235-52-3 CAPLUS

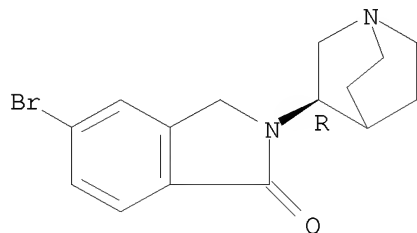
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-bromo-2,3-dihydro-  
(CA INDEX NAME)

Absolute stereochemistry.



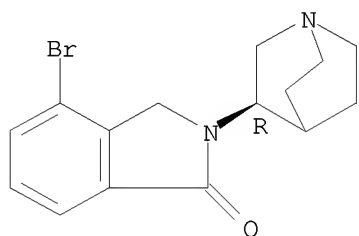
RN 868235-55-6 CAPLUS  
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5-bromo-2,3-dihydro-  
(CA INDEX NAME)

Absolute stereochemistry.



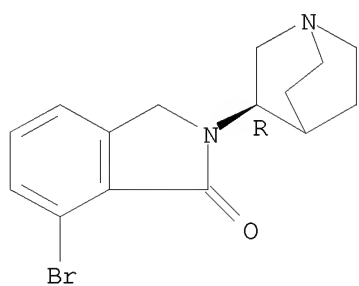
RN 868235-59-0 CAPLUS  
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-4-bromo-2,3-dihydro-  
(CA INDEX NAME)

Absolute stereochemistry.



RN 868235-63-6 CAPLUS  
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-7-bromo-2,3-dihydro-  
(CA INDEX NAME)

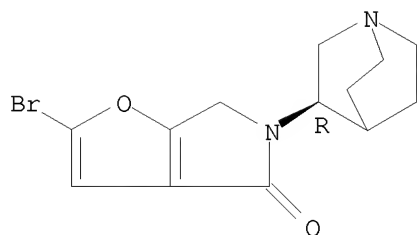
Absolute stereochemistry.



RN 868235-69-2 CAPLUS  
CN 4H-Furo[2,3-c]pyrrol-4-one, 5-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2-bromo-5,6-  
dihydro- (CA INDEX NAME)

Absolute stereochemistry.





IT 868235-47-6P, 2-[(R)-1-Azabicyclo[2.2.2]oct-3-yl]-6-phenyl-2,3-dihydroisoindol-1-one 868235-48-7P 868235-49-8P

868235-50-1P 868235-51-2P 868235-53-4P  
 868235-54-5P 868235-56-7P 868235-57-8P  
 868235-58-9P 868235-60-3P 868235-61-4P  
 868235-62-5P 868235-64-7P 868235-65-8P  
 868235-66-9P 868235-67-0P 868235-68-1P  
 868235-70-5P 868235-71-6P 868235-72-7P  
 868235-73-8P 868235-74-9P 868235-75-0P  
 868235-76-1P 868235-77-2P 868235-78-3P  
 868235-79-4P 868235-80-7P 868235-81-8P  
 868235-82-9P 868235-83-0P 868235-84-1P  
 868235-85-2P 868235-86-3P 868235-87-4P  
 868235-88-5P 868235-89-6P 868235-90-9P  
 868235-91-0P 868235-92-1P 868235-93-2P  
 868235-94-3P 868235-95-4P 868235-96-5P  
 868235-97-6P 868235-98-7P 868235-99-8P  
 868236-00-4P 868236-02-6P 868236-04-8P  
 868236-06-0P 868236-07-1P 868236-08-2P  
 868236-09-3P 868236-10-6P 868236-11-7P  
 868236-12-8P 868236-13-9P 868236-14-0P  
 868236-15-1P 868236-16-2P 868236-17-3P

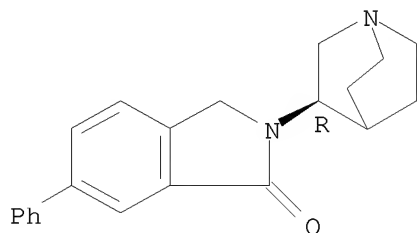
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-quinuclidinyl-2,3-dihydroisoindol-1-ones and 5-quinuclidinyl-5,6-dihydro-furo[2,3-c]pyrrol-4-one derivs. for therapeutic use as ligands for  $\alpha 7$  nicotinic acetylcholine receptor)

RN 868235-47-6 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-phenyl- (CA INDEX NAME)

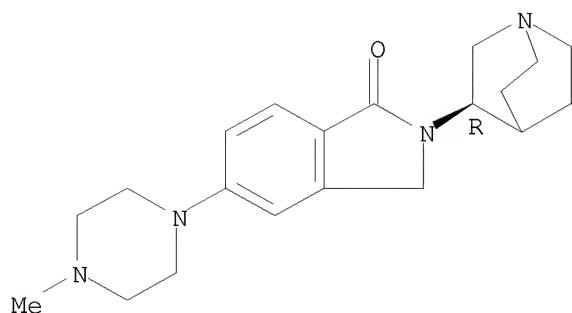
Absolute stereochemistry.



RN 868235-48-7 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

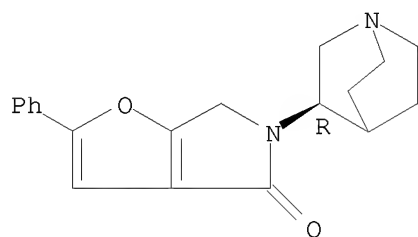
Absolute stereochemistry.



RN 868235-49-8 CAPLUS

CN 4H-Furo[2,3-c]pyrrol-4-one, 5-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5,6-dihydro-2-phenyl- (CA INDEX NAME)

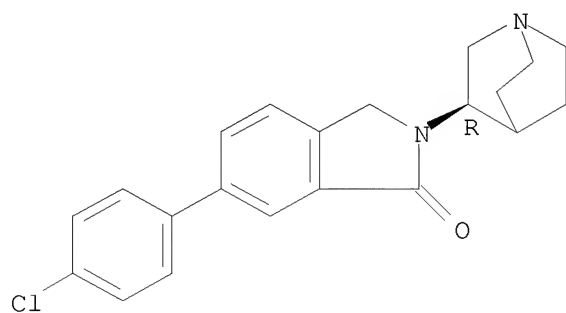
Absolute stereochemistry.



RN 868235-50-1 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(4-chlorophenyl)-2,3-dihydro- (CA INDEX NAME)

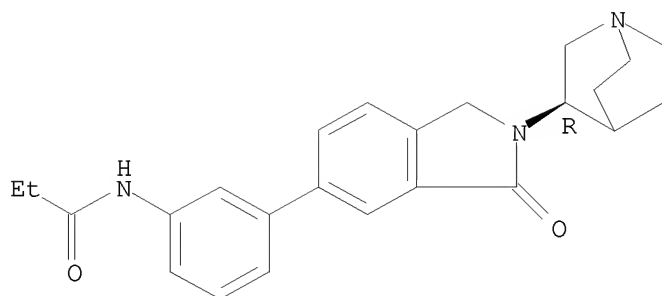
Absolute stereochemistry.



RN 868235-51-2 CAPLUS

CN Propanamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

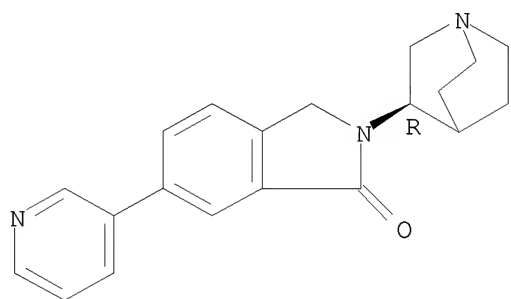
Absolute stereochemistry.



RN 868235-53-4 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(3-pyridinyl)- (CA INDEX NAME)

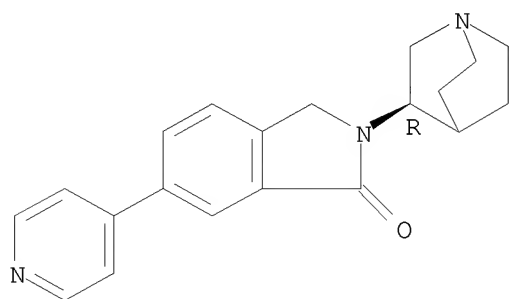
Absolute stereochemistry.



RN 868235-54-5 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-pyridinyl)- (CA INDEX NAME)

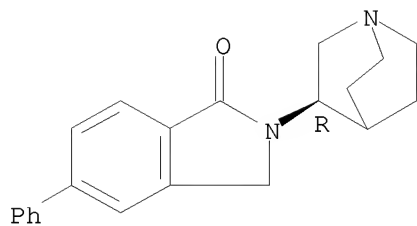
Absolute stereochemistry.



RN 868235-56-7 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-phenyl- (CA INDEX NAME)

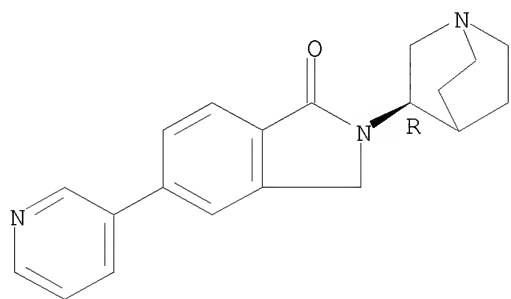
Absolute stereochemistry.



RN 868235-57-8 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-(3-pyridinyl)- (CA INDEX NAME)

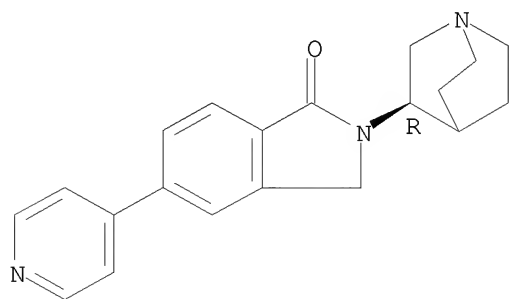
Absolute stereochemistry.



RN 868235-58-9 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-(4-pyridinyl)- (CA INDEX NAME)

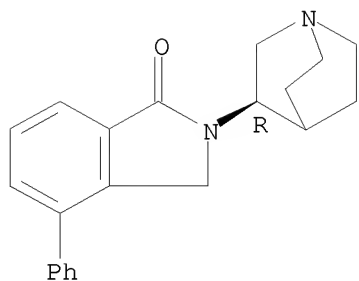
Absolute stereochemistry.



RN 868235-60-3 CAPLUS

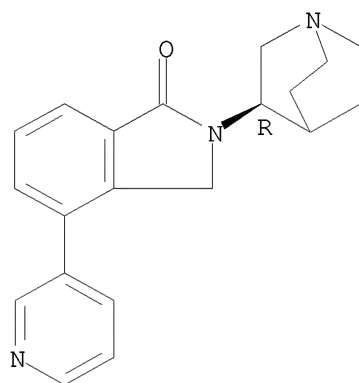
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-4-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



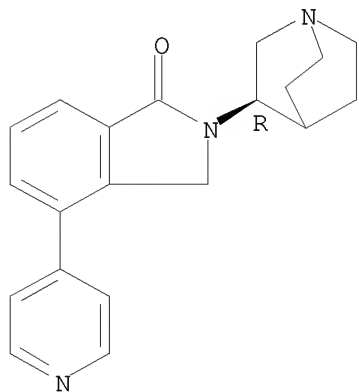
RN 868235-61-4 CAPLUS  
 CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-4-(3-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



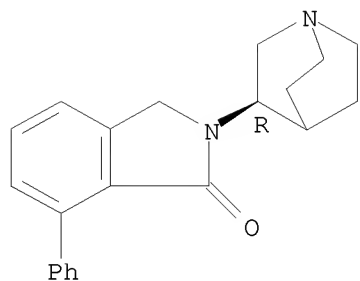
RN 868235-62-5 CAPLUS  
 CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-4-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



RN 868235-64-7 CAPLUS  
 CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-7-phenyl- (CA INDEX NAME)

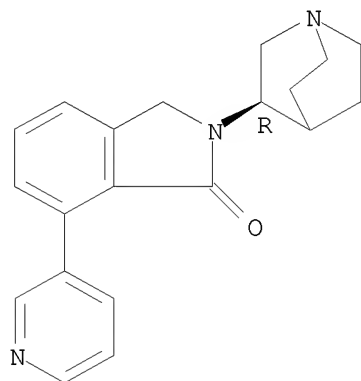
Absolute stereochemistry.



RN 868235-65-8 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-7-(3-pyridinyl)- (CA INDEX NAME)

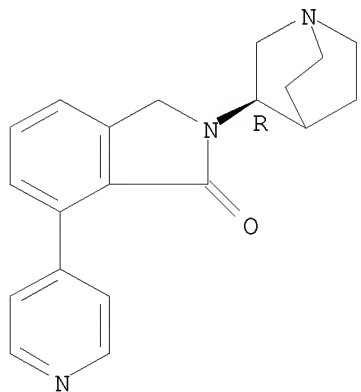
Absolute stereochemistry.



RN 868235-66-9 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-7-(4-pyridinyl)- (CA INDEX NAME)

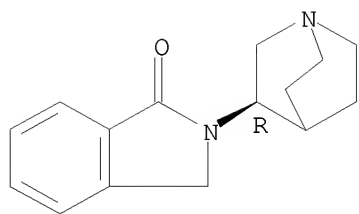
Absolute stereochemistry.



RN 868235-67-0 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro- (CA INDEX NAME)

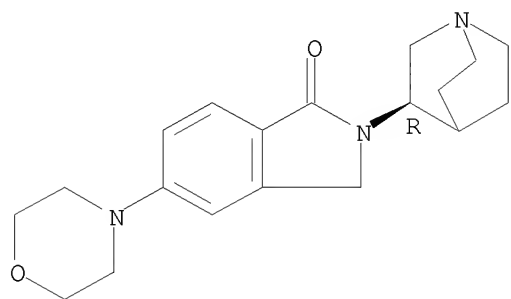
Absolute stereochemistry.



RN 868235-68-1 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-(4-morpholinyl)- (CA INDEX NAME)

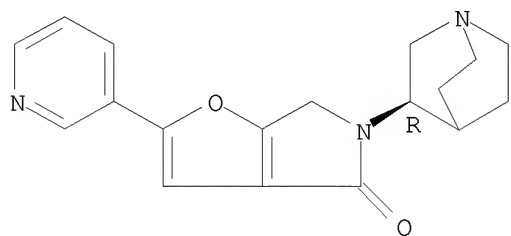
Absolute stereochemistry.



RN 868235-70-5 CAPLUS

CN 4H-Furo[2,3-c]pyrrol-4-one, 5-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5,6-dihydro-2-(3-pyridinyl)- (CA INDEX NAME)

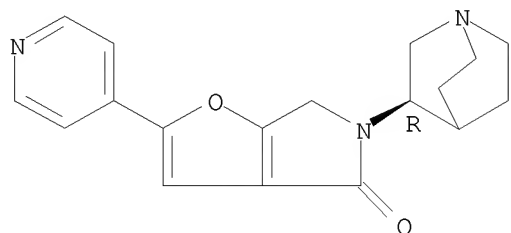
Absolute stereochemistry.



RN 868235-71-6 CAPLUS

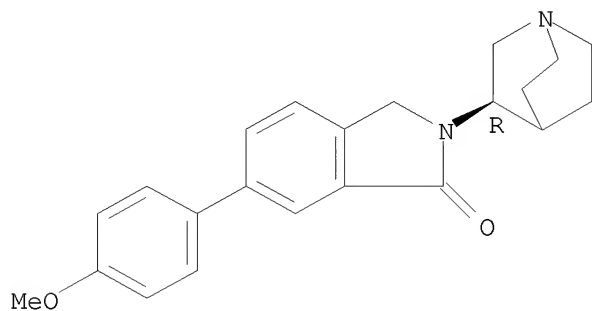
CN 4H-Furo[2,3-c]pyrrol-4-one, 5-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5,6-dihydro-2-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



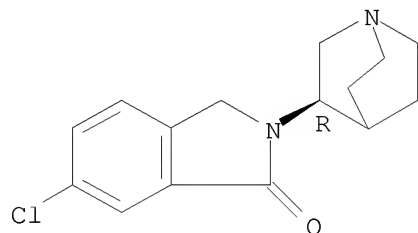
RN 868235-72-7 CAPLUS  
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-methoxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry.



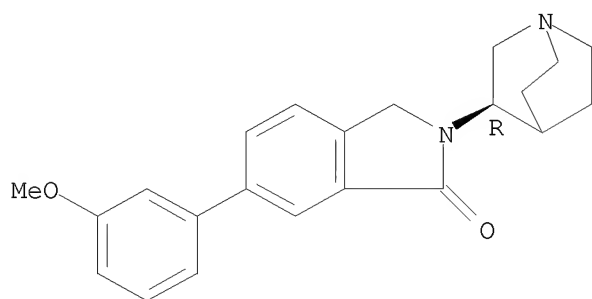
RN 868235-73-8 CAPLUS  
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-chloro-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



RN 868235-74-9 CAPLUS  
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(3-methoxyphenyl)- (CA INDEX NAME)

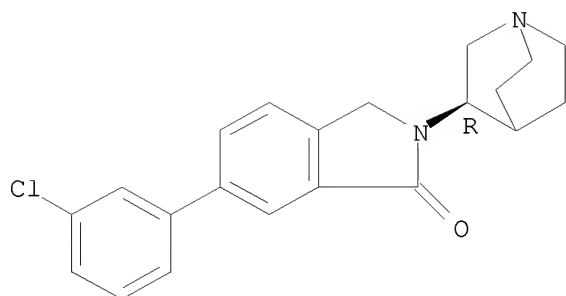
Absolute stereochemistry.



RN 868235-75-0 CAPLUS  
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(3-chlorophenyl)-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

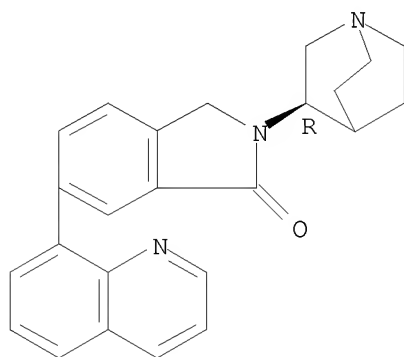




RN 868235-76-1 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(8-quinolinyl)- (CA INDEX NAME)

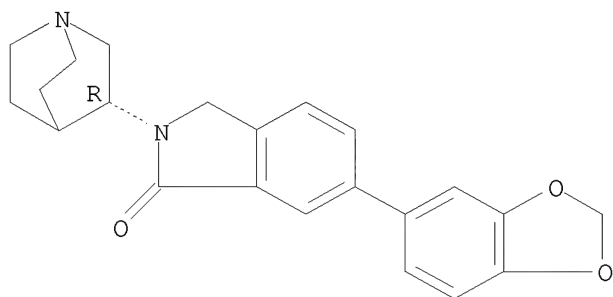
Absolute stereochemistry.



RN 868235-77-2 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(1,3-benzodioxol-5-yl)-2,3-dihydro- (CA INDEX NAME)

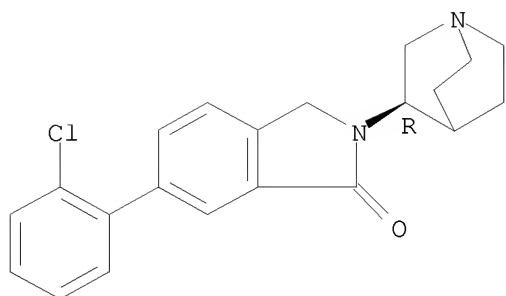
Absolute stereochemistry.



RN 868235-78-3 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(2-chlorophenyl)-2,3-dihydro- (CA INDEX NAME)

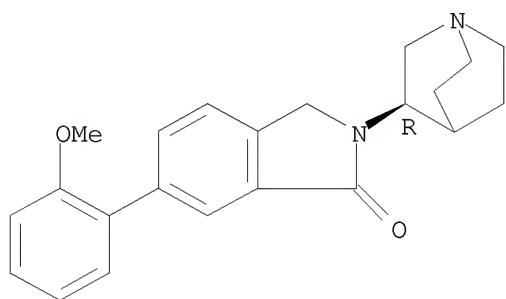
Absolute stereochemistry.



RN 868235-79-4 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(2-methoxyphenyl)- (CA INDEX NAME)

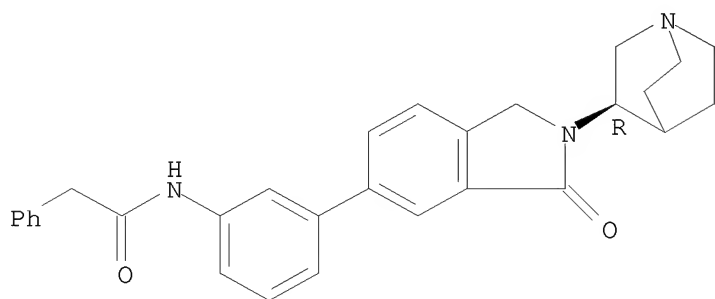
Absolute stereochemistry.



RN 868235-80-7 CAPLUS

CN Benzeneacetamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

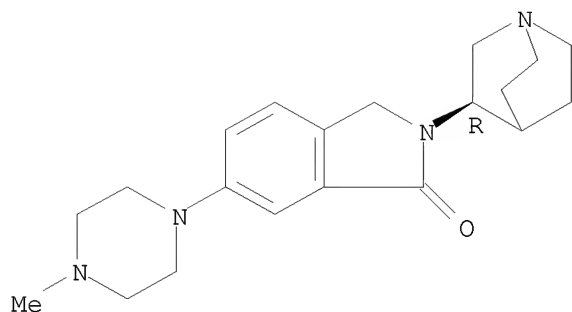
Absolute stereochemistry.



RN 868235-81-8 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

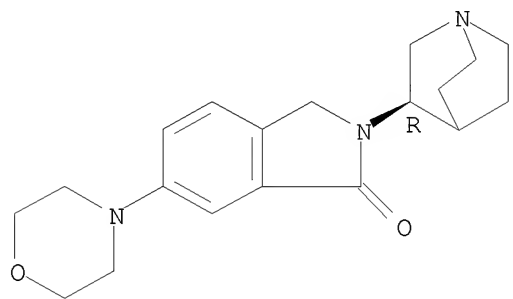
Absolute stereochemistry.



RN 868235-82-9 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-morpholinyl)- (CA INDEX NAME)

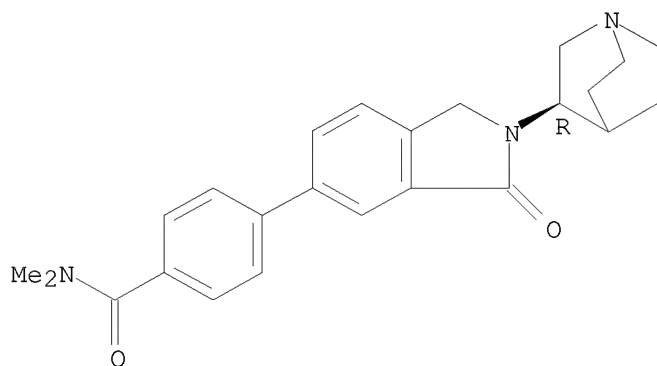
Absolute stereochemistry.



RN 868235-83-0 CAPLUS

CN Benzamide, 4-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N,N-dimethyl- (CA INDEX NAME)

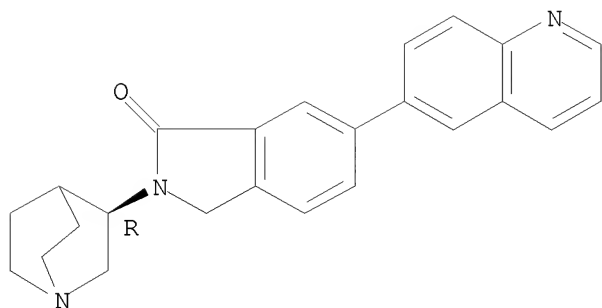
Absolute stereochemistry.



RN 868235-84-1 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(6-quinolinyl)- (CA INDEX NAME)

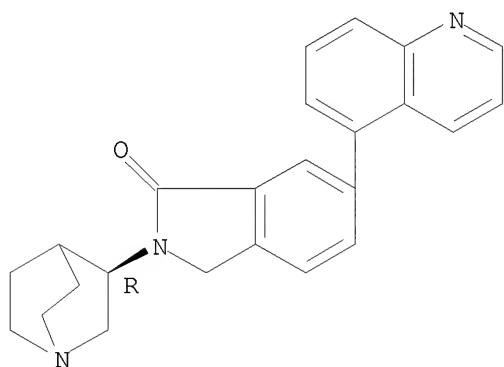
Absolute stereochemistry.



RN 868235-85-2 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(5-quinolinyl)- (CA INDEX NAME)

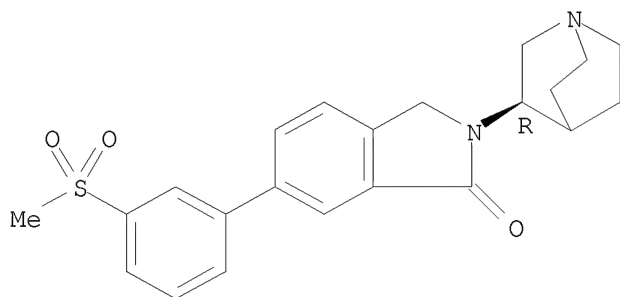
Absolute stereochemistry.



RN 868235-86-3 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(methanesulfonyl)phenyl]- (CA INDEX NAME)

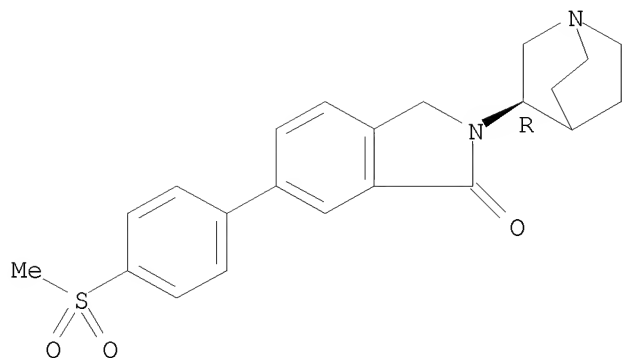
Absolute stereochemistry.



RN 868235-87-4 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[4-(methanesulfonyl)phenyl]- (CA INDEX NAME)

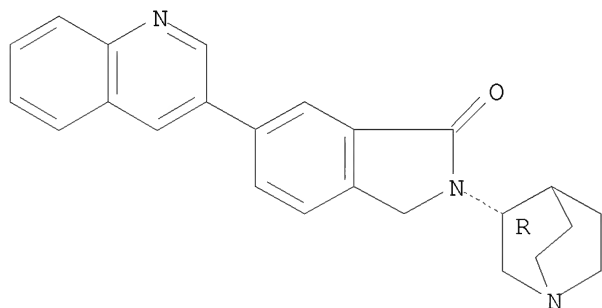
Absolute stereochemistry.



RN 868235-88-5 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(3-quinolinyl)- (CA INDEX NAME)

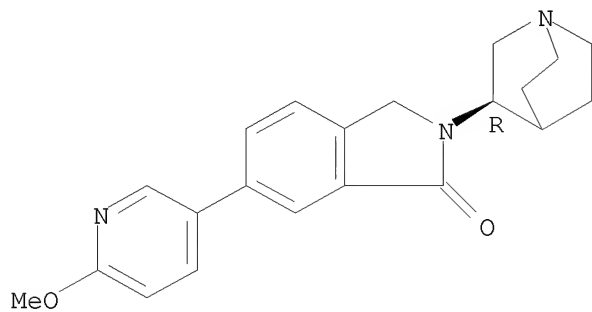
Absolute stereochemistry.



RN 868235-89-6 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(6-methoxy-3-pyridinyl)- (CA INDEX NAME)

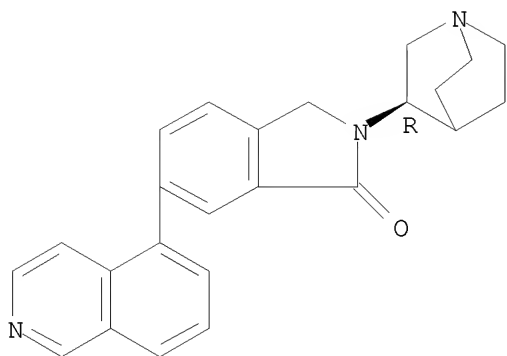
Absolute stereochemistry.



RN 868235-90-9 CAPLUS

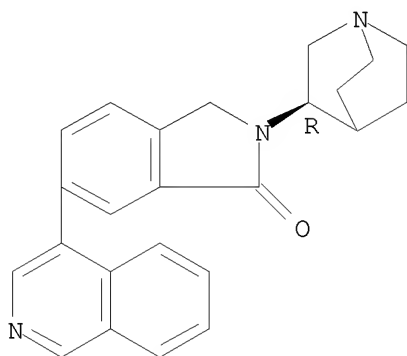
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(5-isoquinolinyl)- (CA INDEX NAME)

Absolute stereochemistry.



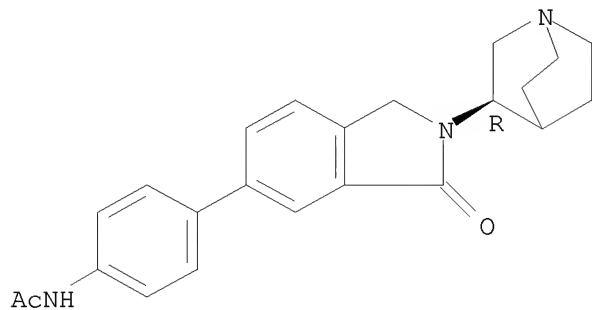
RN 868235-91-0 CAPLUS  
 CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-isoquinolinyl)- (CA INDEX NAME)

Absolute stereochemistry.



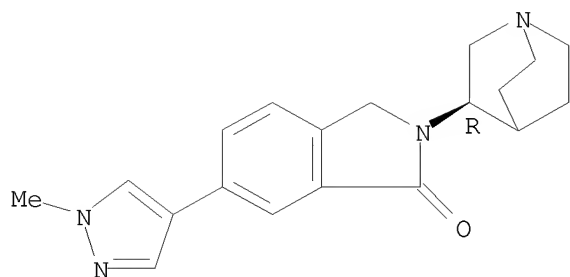
RN 868235-92-1 CAPLUS  
 CN Acetamide, N-[4-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 868235-93-2 CAPLUS  
 CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)

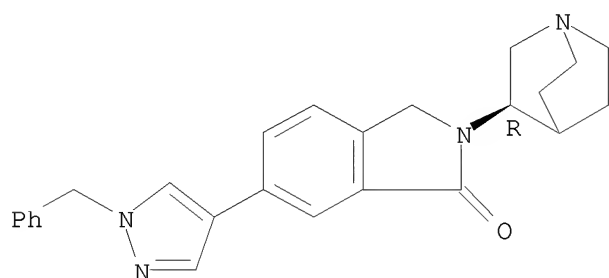
Absolute stereochemistry.



RN 868235-94-3 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[1-(phenylmethyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)

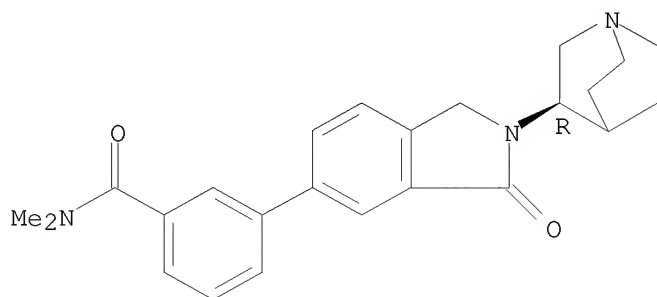
Absolute stereochemistry.



RN 868235-95-4 CAPLUS

CN Benzamide, 3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N,N-dimethyl- (CA INDEX NAME)

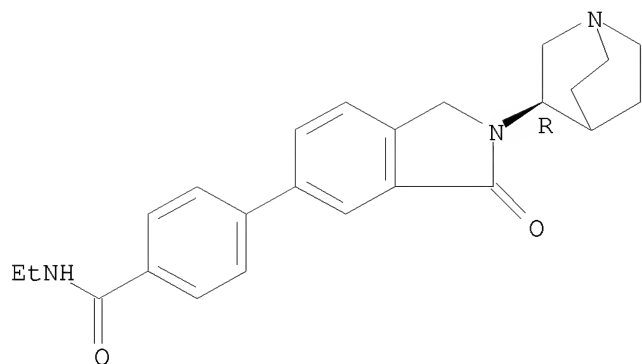
Absolute stereochemistry.



RN 868235-96-5 CAPLUS

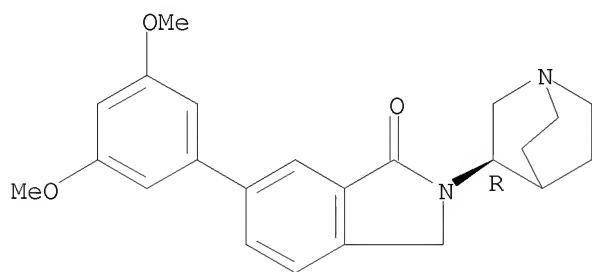
CN Benzamide, 4-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



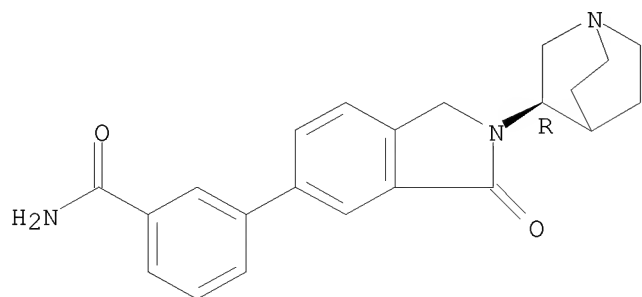
RN 868235-97-6 CAPLUS  
 CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(3,5-dimethoxyphenyl)-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



RN 868235-98-7 CAPLUS  
 CN Benzamide, 3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]- (CA INDEX NAME)

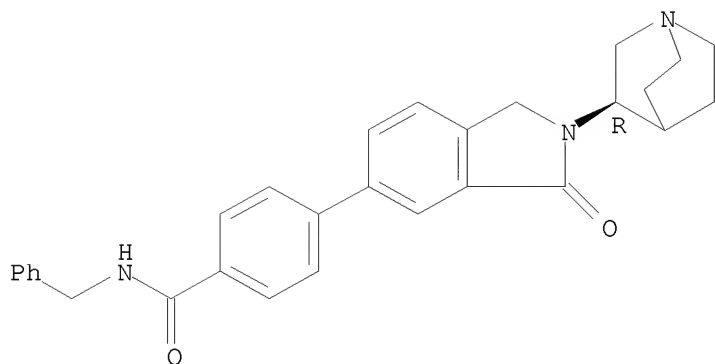
Absolute stereochemistry.



RN 868235-99-8 CAPLUS  
 CN Benzamide, 4-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N-(phenylmethyl)- (CA INDEX NAME)

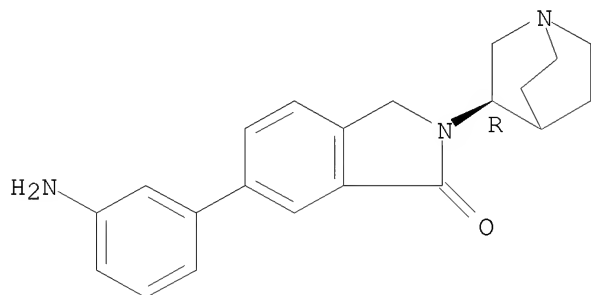
Absolute stereochemistry.





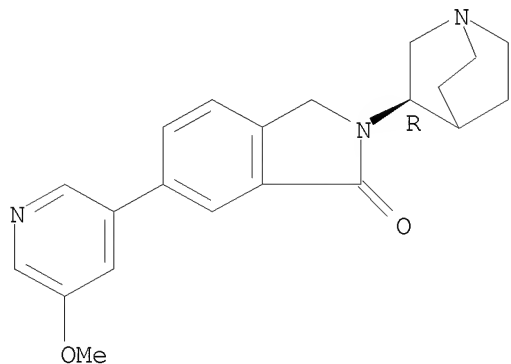
RN 868236-00-4 CAPLUS  
 CN 1H-Isoindol-1-one, 6-(3-aminophenyl)-2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



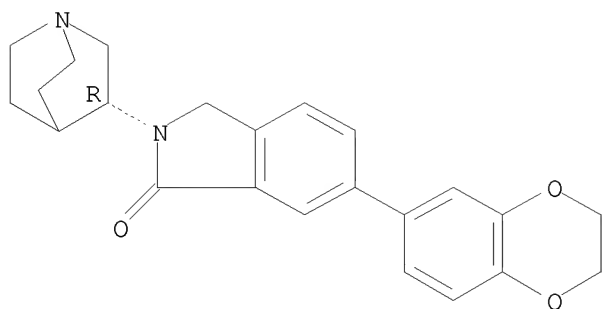
RN 868236-02-6 CAPLUS  
 CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(5-methoxy-3-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



RN 868236-04-8 CAPLUS  
 CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(2,3-dihydro-1,4-benzodioxin-6-yl)-2,3-dihydro- (CA INDEX NAME)

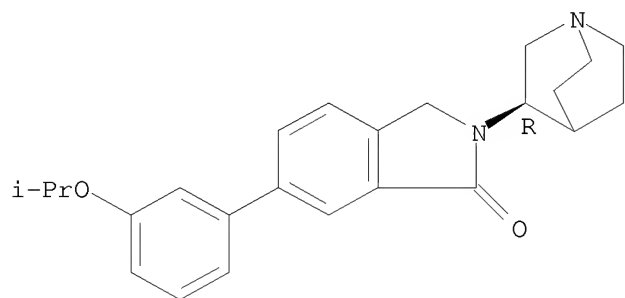
Absolute stereochemistry.



RN 868236-06-0 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(1-methylethoxy)phenyl]- (CA INDEX NAME)

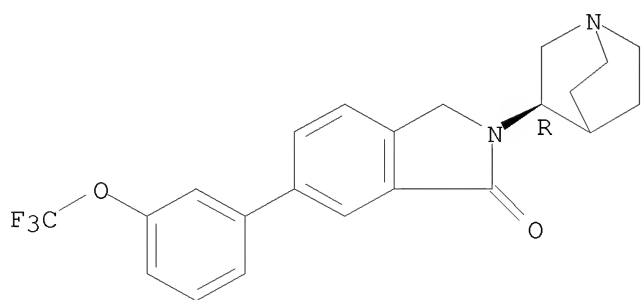
Absolute stereochemistry.



RN 868236-07-1 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

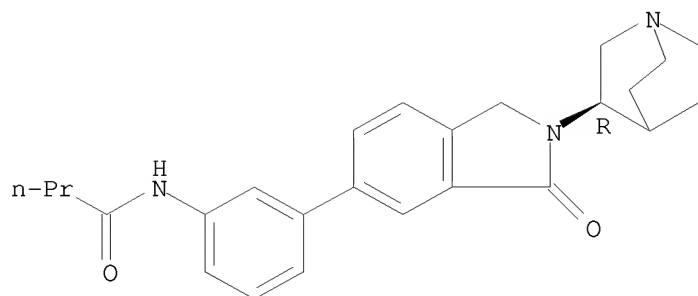
Absolute stereochemistry.



RN 868236-08-2 CAPLUS

CN Butanamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

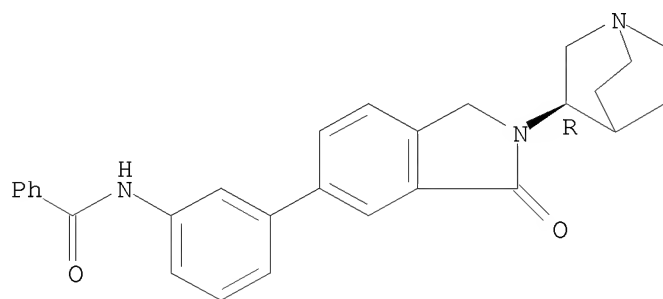
Absolute stereochemistry.



RN 868236-09-3 CAPLUS

CN Benzamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl]-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl- (CA INDEX NAME)

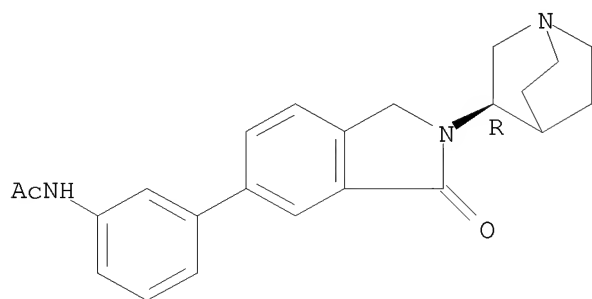
Absolute stereochemistry.



RN 868236-10-6 CAPLUS

CN Acetamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl]-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl- (CA INDEX NAME)

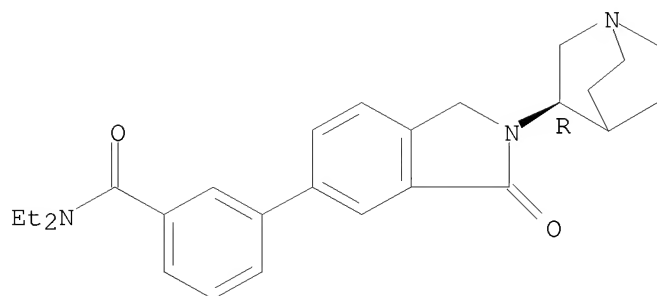
Absolute stereochemistry.



RN 868236-11-7 CAPLUS

CN Benzamide, 3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl]-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N,N-diethyl- (CA INDEX NAME)

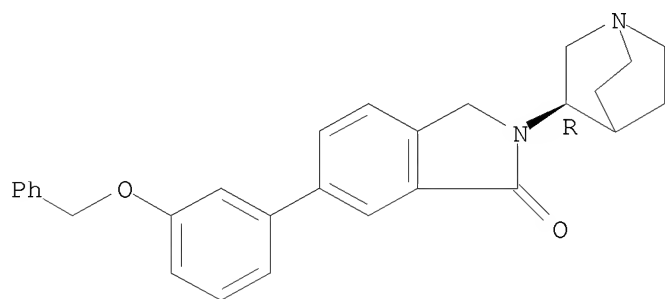
Absolute stereochemistry.



RN 868236-12-8 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(phenylmethoxy)phenyl]- (CA INDEX NAME)

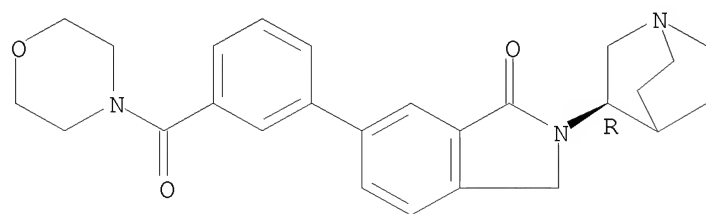
Absolute stereochemistry.



RN 868236-13-9 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(4-morpholinylcarbonyl)phenyl]- (CA INDEX NAME)

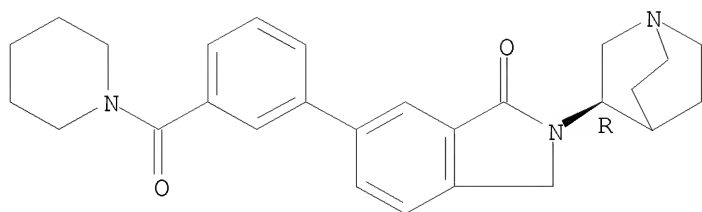
Absolute stereochemistry.



RN 868236-14-0 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(1-piperidinylcarbonyl)phenyl]- (CA INDEX NAME)

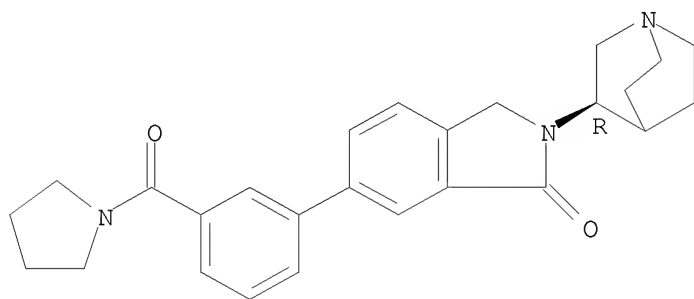
Absolute stereochemistry.



RN 868236-15-1 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(1-pyrrolidinylcarbonyl)phenyl]- (CA INDEX NAME)

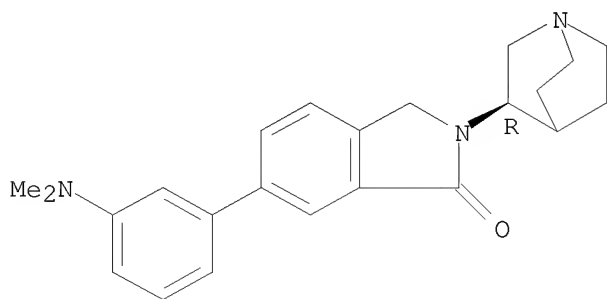
Absolute stereochemistry.



RN 868236-16-2 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-[3-(dimethylamino)phenyl]-2,3-dihydro- (CA INDEX NAME)

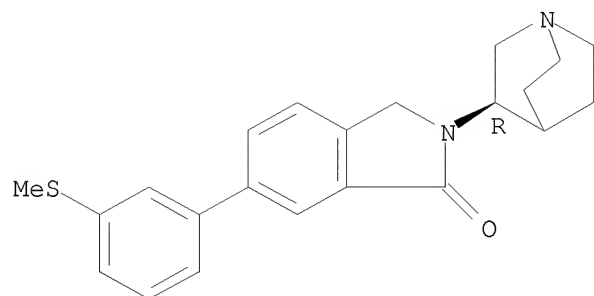
Absolute stereochemistry.



RN 868236-17-3 CAPLUS

CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(methylthio)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:89070 CAPLUS

DOCUMENT NUMBER: 136:395318

TITLE: Novel Potent 5-HT<sub>3</sub> Receptor Ligands Based on the Pyrrolidone Structure: Synthesis, Biological Evaluation, and Computational Rationalization of the Ligand-Receptor Interaction Modalities

AUTHOR(S): Cappelli, Andrea; Anzini, Maurizio; Vomero, Salvatore; Mennuni, Laura; Makovec, Francesco; Doucet, Edith; Hamon, Michel; Menziani, M. Cristina; De Benedetti, Pier G.; Giorgi, Gianluca; Ghelardini, Carla; Collina, Simona

CORPORATE SOURCE: Dipartimento Farmaco Chimico Tecnologico, Universita di Siena, Siena, 53100, Italy

SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(3), 779-801

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:395318

AB Novel conformationally constrained derivs. of classical 5-HT<sub>3</sub> receptor antagonists were designed and synthesized with the aim of probing the central 5-HT<sub>3</sub> receptor recognition site in a systematic way. The newly-synthesized compds. were tested for their potential ability to inhibit [3H]granisetron specific binding to 5-HT<sub>3</sub> receptor in rat cortical membranes. These studies revealed subnanomolar affinity in some of the compds. under study. The most potent ligand in this series was the quinuclidine derivative, which showed an affinity comparable with that of the reference ligand granisetron. The potential 5-HT<sub>3</sub> agonist/antagonist activity of some selected compds. was assessed in vitro on the 5-HT<sub>3</sub> receptor-dependent [14C]guanidinium uptake in NG 108-15 cells. Both of the tropane derivs. tested in this functional assay showed antagonist properties, while the quinuclidine derivs. studied showed a full range of intrinsic efficacies. Therefore, the functional behavior of these 5-HT<sub>3</sub> receptor ligands appears to be affected by the structural features of both the azabicyclo moiety and the heteroarom. portion. In agreement with the data obtained on NG 108-15 cells, investigations on the 5-HT<sub>3</sub> receptor-dependent Bezold-Jarisch reflex in urethane-anesthetized rats confirmed the 5-HT<sub>3</sub> receptor antagonist properties of several of the compds. Finally, several prevented scopolamine-induced amnesia in the mouse passive avoidance test suggestive of a potential usefulness in cognitive disorders for these compds. Qual. and quant. structure-affinity relation studies were carried out by theor. descriptors derived on a single structure and ad-hoc defined size and shape descriptors (indirect approach). The results showed to be useful in capturing information relevant to ligand-receptor interaction. Addnl. information derived by the anal. of the energy minimized 3-D structures of the ligand-receptor complexes (direct approach) suggested interesting mechanistic and methodol. considerations on the binding mode multiplicity at the 5-HT<sub>3</sub> receptors and on the degree of tolerance allowed in the alignment of mols. for the indirect approach, resp.

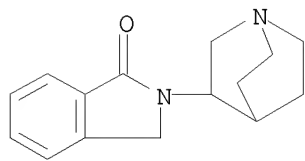
IT 431079-01-5P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(novel potent 5-HT<sub>3</sub> receptor ligands based on pyrrolidone structure in relation to synthesis and biol. evaluation and computational rationalization of ligand-receptor interaction modalities)

RN 431079-01-5 CAPLUS

CN 1H-Isoindol-1-one, 2-(1-azabicyclo[2.2.2]oct-3-yl)-2,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

REFERENCE COUNT:

54

THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:511443 CAPLUS  
DOCUMENT NUMBER: 117:111443  
ORIGINAL REFERENCE NO.: 117:19443a,19446a  
TITLE: Synthesis of (R)- and (S)-3-aminoquinuclidine from  
3-quinuclidinone and (S)- and (R)-1-phenethylamine  
AUTHOR(S): Langlois, Michel; Meyer, Christine; Soulier, Jean  
Louis  
CORPORATE SOURCE: CERCOA, CNRS, Thiais, F-94320, Fr.  
SOURCE: Synthetic Communications (1992), 22(13), 1895-911  
CODEN: SYNCAV; ISSN: 0039-7911  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 117:111443

AB The synthesis of (R)- and (S)-3-aminoquinuclidine, an important building  
block for the synthesis of chiral 5-HT<sub>3</sub> serotonin receptor antagonists, is  
described. The key reaction is the reduction by NaBH<sub>4</sub> of the imine prepared  
from the 3-quinuclidinone and chiral (S) or (R)-1-phenethylamine.

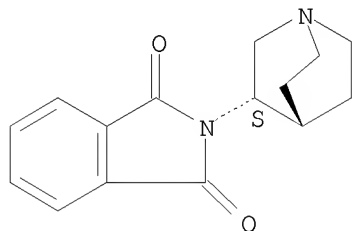
IT 142999-65-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and deprotection of)

RN 142999-65-3 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-(1-azabicyclo[2.2.2]oct-3-yl)-, (S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

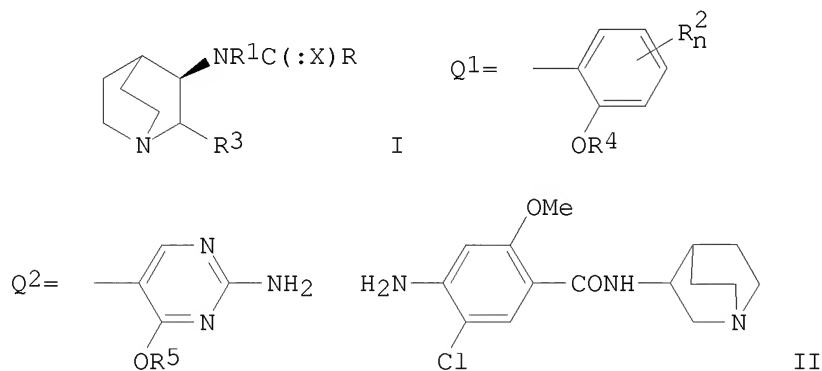


L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:571886 CAPLUS  
 DOCUMENT NUMBER: 113:171886  
 ORIGINAL REFERENCE NO.: 113:29153a, 29156a  
 TITLE: Preparation of N-(3-quinuclidinyl)benzamides and  
 analogs as psychoanaleptic agents  
 INVENTOR(S): Renaud, Alain; Langlois, Michel; Naylor, Robert John;  
 Naylor, Brenda  
 PATENT ASSIGNEE(S): Delalande S. A., Fr.; A. H. Robins Co., Inc.  
 SOURCE: Eur. Pat. Appl., 29 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 353371	A1	19900207	EP 1988-402041	19880804
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8905797	A	19910327	ZA 1989-5797	19890728
AU 8939174	A	19900208	AU 1989-39174	19890801
AU 624402	B2	19920611		
DK 8903818	A	19900205	DK 1989-3818	19890803
US 5017580	A	19910521	US 1989-389309	19890803
JP 02256616	A	19901017	JP 1989-202710	19890804
CA 1333154	C	19941122	CA 1989-607650	19890804
PRIORITY APPLN. INFO.:			EP 1988-402041	A 19880804
OTHER SOURCE(S):	MARPAT	113:171886		

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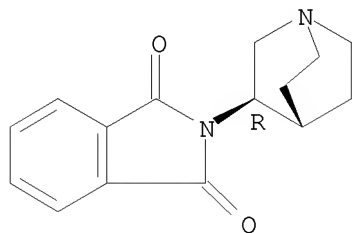
AB The title compds. (I; R = Ph optionally having 1-3 C1-4 alkoxy and/or 1-2 halo substituents,  $Q^1$ ,  $Q^2$ ;  $R^1$ ,  $R^3$  = H, C1-4 alkyl;  $R^2$  = halo,  $NH_2$ ,  $NHMe$ ,  $NMe_2$ , C1-8 alkoxy, C1-4 alkanoyl; 4,5- $R^{22}$  =  $CH:CHCH:CH$ ;  $R^4$  = C1-8 alkyl;  $R^5$  = C1-4 alkyl; n = 1,2) were prepared Thus, (R)-(+)-3-aminoquinuclidine (preparation given) was stirred 18 h with 4-amino-5-chloro-2-methoxybenzoic acid in pyridine containing DCC to give, after acidification, title compound (R)-(+)-II.HCl which facilitated light avoidance learning and prevented scopolamine impairment of same in mice receiving 10 ng/kg b.d.

IT 123442-07-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, in preparation of psychoanaleptic agents)

RN 123442-07-9 CAPLUS

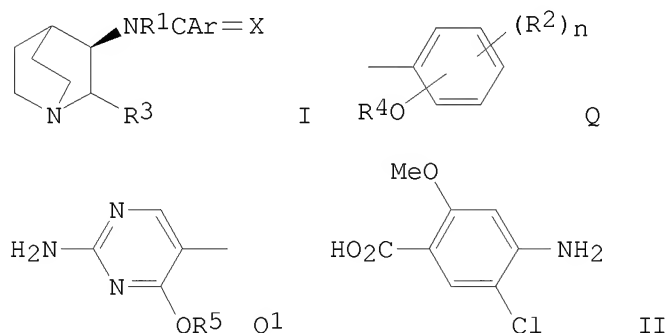
CN 1H-Isoindole-1,3(2H)-dione, 2-(1-azabicyclo[2.2.2]oct-3-yl)-, (R)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 1989:614399 CAPLUS  
 DOCUMENT NUMBER: 111:214399  
 ORIGINAL REFERENCE NO.: 111:35560h,35561a  
 TITLE: Preparation of anxiolytic N-[1-azabicyclo[2.2.2]oct-3(R)-yl]benzamides and -thiobenzamides  
 INVENTOR(S): Renaud, Alain; Langlois, Michel; Naylor, Robert John; Naylor, Brenda  
 PATENT ASSIGNEE(S): Delalande S. A., Fr.; A. H. Robins Co., Inc.  
 SOURCE: Eur. Pat. Appl., 31 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 311724	A1	19890419	EP 1987-402321	19871016
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8807601	A	19890726	ZA 1988-7601	19881012
DK 8805761	A	19890417	DK 1988-5761	19881014
AU 8823749	A	19890420	AU 1988-23749	19881014
AU 618027	B2	19911212		
JP 01199969	A	19890811	JP 1988-259257	19881014
CA 1322552	C	19930928	CA 1988-580281	19881014
US 5206246	A	19930427	US 1991-735174	19910723
PRIORITY APPLN. INFO.:			EP 1987-402321	A 19871016
			US 1988-257632	B1 19881014
			US 1990-609018	B1 19901031
OTHER SOURCE(S):		CASREACT 111:214399; MARPAT 111:214399		
GI				



AB The title compds. [I; X = O, S; R1, R3 = H, alkyl; Ar = (substituted) Ph, e.g., Q; R2 = halo, 4,5-benzo, alkylcarbonyl, NH2, NHMe, NMe2, etc.; R4 = alkyl, Q1; n = 1, 2; R5 = alkyl] and their N-oxides, pharmaceutically acceptable salts, useful as anxiolytics, are prepared either via separating the R enantiomer from a stereoisomeric mixture, or via reacting the appropriate 1-azabicyclo[2.2.2]octan-3(R)-amine with ArCO2H or its reactive derivative optionally followed by treatment with S, salt formation, etc. Benzoic acid II was condensed with 3-aminoquinuclidine in the presence of 1,1'-carbonyldiimidazole to give, after treatment with fumaric acid and separation of the racemate (separation procedure not described by author), I  
 [R1 =

R3 = H, Ar = 4-amino-5-chloro-2-methoxyphenyl, X = O,] (III) fumarate (1:1). By a method described by Cragley and Goodwin (1980) using mice, III at 0.1-10 mg/kg i.p. decreased significantly straightenings compared with the control.

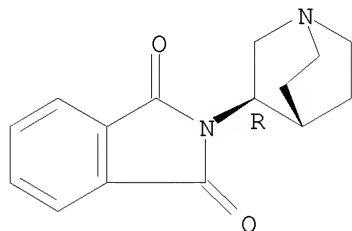
IT 123442-07-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate for anxiolytics)

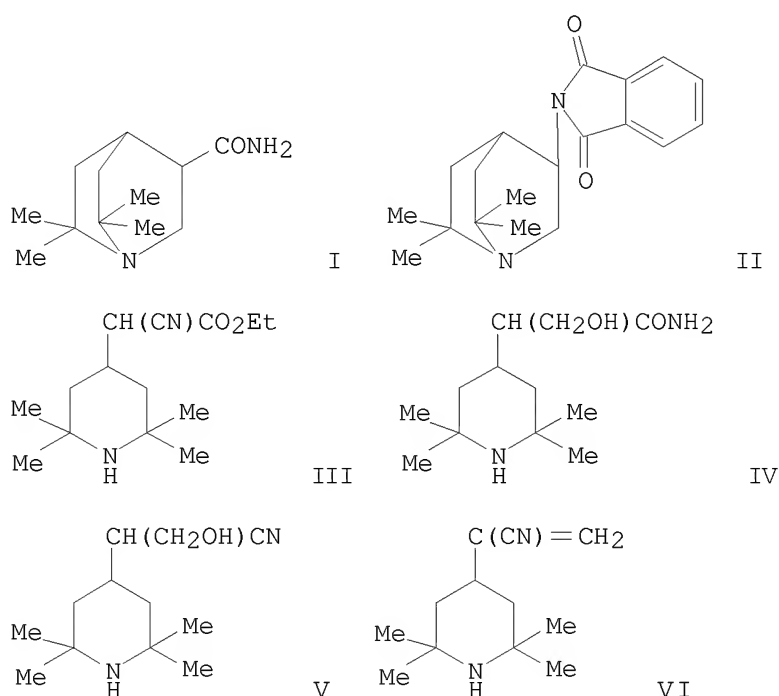
RN 123442-07-9 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-(1-azabicyclo[2.2.2]oct-3-yl)-, (R)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 1977:16523 CAPLUS  
 DOCUMENT NUMBER: 86:16523  
 ORIGINAL REFERENCE NO.: 86:2689a,2692a  
 TITLE: Synthesis of 2,2,6,6-tetramethyl quinuclidines with functional substituents in the quinuclidine nucleus  
 AUTHOR(S): Levkoeva, E. I.; Yakhontov, L. N.  
 CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR  
 SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1976), (7), 927-34  
 CODEN: KGSSAQ; ISSN: 0132-6244  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 OTHER SOURCE(S): CASREACT 86:16523  
 GI



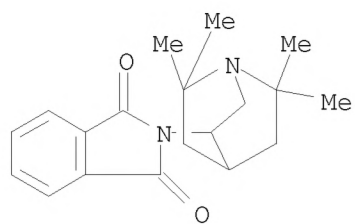
AB Quinuclidines I and II were prepared Hydrolysis of piperidine acetate III gave 71.5% amide, which was reduced to give 81.4% hydroxyamide IV. The tosylate of IV was prepared in pyridine and treated with K<sub>2</sub>CO<sub>3</sub> to give 30% V and 18% VI; VI was successively treated with PBr<sub>3</sub> and cyclized to give 15% I. Several other tetramethylpiperidines were also prepared

IT 61171-66-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 61171-66-2 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-(6,6,7,7-tetramethyl-1-azabicyclo[2.2.2]oct-3-yl)-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

=> FIL STNGUIDE  
COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
50.49	229.54

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
-7.20	-7.20

CA SUBSCRIBER PRICE

FILE 'STNGUIDE' ENTERED AT 15:26:05 ON 24 JUL 2008  
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FILE CONTAINS CURRENT INFORMATION.  
LAST RELOADED: Jul 18, 2008 (20080718/UP).

=> log y  
COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
0.66	230.20

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
0.00	-7.20

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 15:32:27 ON 24 JUL 2008